

10/522,955N Yong Chu

~~10/522,955N~~ Yong Chu 05/26/2009

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PASSWORD:

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SESSION RESUMED IN FILE 'CAPLUS' AT 14:01:59 ON 26 MAY 2009  
FILE 'CAPLUS' ENTERED AT 14:01:59 ON 26 MAY 2009  
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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	91.74	528.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-13.12	-13.12

=> file reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	91.74	528.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-13.12	-13.12

FILE 'REGISTRY' ENTERED AT 14:02:13 ON 26 MAY 2009  
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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 25 MAY 2009 HIGHEST RN 1149058-00-3  
DICTIONARY FILE UPDATES: 25 MAY 2009 HIGHEST RN 1149058-00-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when  
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REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> d his

(FILE 'HOME' ENTERED AT 11:43:00 ON 26 MAY 2009)

FILE 'REGISTRY' ENTERED AT 11:43:15 ON 26 MAY 2009

L1           STRUCTURE UPLOADED  
L2           0 S L1

FILE 'REGISTRY' ENTERED AT 11:49:26 ON 26 MAY 2009

L3           STRUCTURE UPLOADED  
L4           0 S L3  
L5           STRUCTURE UPLOADED  
L6           0 S L5  
L7           0 S L3  
L8           0 S L3 FULL

FILE 'REGISTRY' ENTERED AT 12:24:08 ON 26 MAY 2009

L9           STRUCTURE UPLOADED  
L10          32 S L9  
L11          3240 S L9 FULL  
            SAVE L11 YC10522955/A

FILE 'REGISTRY' ENTERED AT 12:34:00 ON 26 MAY 2009

L12          STRUCTURE UPLOADED  
L13          2 S L12 SAM SSS SUB=L11  
L14          STRUCTURE UPLOADED  
L15          2 S L14 SAM SSS SUB=L11  
L16          STRUCTURE UPLOADED  
L17          31 S L16  
L18          STRUCTURE UPLOADED  
L19          2 S L18 SAM SSS SUB=L11  
L20          20 S L18 FULL SSS SUB=L11

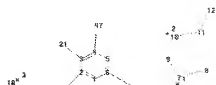
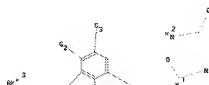
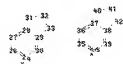
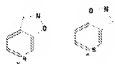
FILE 'CAPLUS' ENTERED AT 12:47:40 ON 26 MAY 2009

L21          16 S L20

FILE 'REGISTRY' ENTERED AT 14:02:13 ON 26 MAY 2009

=>

Uploading C:\Documents and Settings\ychu\Desktop\Case\10522955\L15\_05262009.str



chain nodes :  
7 8 9 10 11 12 17 18 21 22 24 47  
ring nodes :

```

1  2  3  4  5  6  25  26  27  28  29  30  31  32  33  34  35  36  37  38  39  40
41  42
chain bonds :
1-24  2-22  3-21  4-47  6-17  7-8  7-9  10-11  11-12
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  25-26  25-30  26-27  27-28  28-29  28-31  29-30  29-33
31-32  32-33  34-35  34-39  35-36  36-37  37-38  37-40  38-39  38-42  40-41  41-42
exact/norm bonds :
1-24  2-22  3-21  4-47  6-17  7-8  7-9  10-11  11-12  28-29  28-31  29-33  31-32
32-33  37-38  37-40  38-42  40-41  41-42
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  25-26  25-30  26-27  27-28  29-30  34-35  34-39  35-36
36-37  38-39
isolated ring systems :
containing 25 : 34 :

```

G1:[\*1],[\*2]

G2:H,X,[\*3]

G3:[\*4],[\*5]

```

Connectivity :
9:1 E exact C chain 12:1 E exact C chain 31:3 X maximum RC ring/chain
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 17:CLASS 18:CLASS 21:CLASS 22:CLASS 24:CLASS 25:Atom
26:Atom 27:Atom
28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom
37:Atom 38:Atom
39:Atom 40:Atom 41:Atom 42:Atom 47:CLASS
Generic attributes :
18:
Saturation : Saturated
Number of Carbon Atoms : less than 7

```

L22 STRUCTURE UPLOADED

=> d

L22 HAS NO ANSWERS

L22 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l22 sam sss sub=111

SAMPLE SUBSET SEARCH INITIATED 14:03:21 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

2 ANSWERS

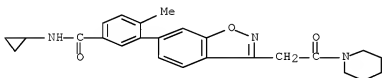
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):                    ONLINE    \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):            2 TO            124  
 PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):              2 TO            124

L23                    2 SEA SUB=L11 SSS SAM L22

=> d scan

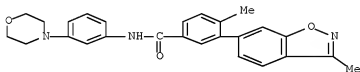
L23    2 ANSWERS    REGISTRY    COPYRIGHT 2009 ACS on STN  
 IN    Benzamide, N-cyclopropyl-4-methyl-3-[3-[2-oxo-2-(1-piperidinyl)ethyl]-1,2-benzisoxazol-6-yl]-  
 MF    C25 H27 N3 O3



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L23    2 ANSWERS    REGISTRY    COPYRIGHT 2009 ACS on STN  
 IN    Benzamide, 4-methyl-3-(3-methyl-1,2-benzisoxazol-6-yl)-N-[3-(4-morpholinyl)phenyl]-  
 MF    C26 H25 N3 O3



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> s 122 full sss sub=111  
 FULL SUBSET SEARCH INITIATED 14:04:13 FILE 'REGISTRY'  
 FULL SUBSET SCREEN SEARCH COMPLETED -            78 TO ITERATE

100.0% PROCESSED

78 ITERATIONS

78 ANSWERS

SEARCH TIME: 00.00.01

L24 78 SEA SUB=L11 SSS FUL L22

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

45.92

574.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-13.12

FILE 'CAPLUS' ENTERED AT 14:04:32 ON 26 MAY 2009

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FILE COVERS 1907 - 26 May 2009 VOL 150 ISS 22

FILE LAST UPDATED: 25 May 2009 (20090525/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate

=> s l24

L25 8 L24

=> d ibib abs hitstr tot

L25 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1548974 CAPLUS Full-text

DOCUMENT NUMBER: 150:98349

TITLE: Preparation of phenyldihydropyridopyrimidinecarboamide derivatives for use as GPR105 antagonists

INVENTOR(S): Guay, Daniel; Beaulieu, Christian; Belley, Michel; Crane, Sheldon N.; De Luca, Jeancarlo; Fortin, Rejean; Gareau, Yves; Li, Lianhai; Therien, Michel; Tranmer, Geoffrey K.; Truong, Vouy Linh; Wang, Zhaoyin

PATENT ASSIGNEE(S): Merck Frosst Canada Ltd., Can.

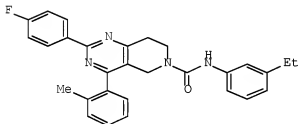
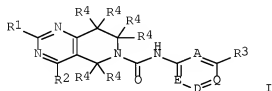
SOURCE: PCT Int. Appl., 85pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009000087	A1	20081231	WO 2008-CA1214	20080626
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KH, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2007-937792P P 20070628  
 OTHER SOURCE(S): MARPAT 150:98349  
 GI



AB Title compds. I [A, D, E, and Q independently = N or CR8, provided that at least two are CR8; R1 = (un)substituted aryl or heteroaryl; R2 = substituted aryl or heteroaryl; R3 = CN, halo, (un)substituted alkyl, etc.; each R4 independently = H, F or alkyl; or two R4 groups together with the carbon atom to which they are attached form a carbocyclic ring; R8 = H, CN, halo, etc.], and their pharmaceutically acceptable salts, are prepd. and disclosed as G protein-coupled receptor 105 (GPR105) antagonists. Thus, e.g., II was prepd. by cyclization of 1-tert-Bu 3-Et 4-oxopiperidine-1,3-dicarboxylate with guanidine hydrochloride followed by sulfonylation with p-toluenesulfonyl chloride, coupling with 2-methylphenylboronic acid, bromination,

chlorination/deprotection, amidation with 3-ethylphenyl isocyanate, and coupling with 4-fluorophenylboronic acid. Select I were evaluated in chimpanzee GPR105 protein inhibition assays, e.g., II demonstrated an IC50 value of 98.5 nM. I were disclosed as therapeutic agents for disorders responsive to antagonism of this receptor (GPR105), such as diabetes, particularly, type 2 diabetes, insulin resistance, hyperglycemia, lipid disorders, obesity, atherosclerosis, and metabolic syndrome.

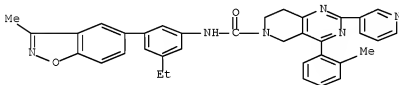
IT 1095716-83-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of phenyldihydropyridopyrimidinecarboamide derivs. for use as antagonists of GPR105 activity)

RN 1095716-83-8 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(5H)-carboxamide,  
N-[3-ethyl-5-(3-methyl-1,2-benzisoxazol-5-yl)phenyl]-7,8-dihydro-4-(2-methylphenyl)-2-(3-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1481200 CAPLUS Full-text

DOCUMENT NUMBER: 150:29003

TITLE: NF- $\kappa$ B inhibitor-p38 MAP kinase inhibitor combination for the treatment of cancer and inflammatory diseases

INVENTOR(S): Fu, Hai'an; Liotta, Dennis C.; Thomas, Shala L.; Snyder, James P.

PATENT ASSIGNEE(S): Emory University, USA

SOURCE: PCT Int. Appl., 122pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008150899	A1	20081211	WO 2008-US65132	20080529
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BS, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,			

IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,  
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,  
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,  
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-932125P P 20070529

OTHER SOURCE(S): MARPAT 150:29003

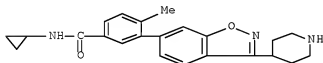
AB The invention is directed to combinations of compds. useful in the treatment and prevention of cancer and inflammatory conditions or diseases. In particular embodiments, the combinations comprise one or more compds. that are NF- $\kappa$ B inhibitors or p38 MAPK inhibitors. The invention further provides pharmaceutical compns. and methods of treatment using the combinations. In one embodiment, the NF-KB inhibitor is a curcumin analog.

IT 651780-51-7 1092358-66-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(NF- $\kappa$ B inhibitor-p38 MAP kinase inhibitor combination for treatment of cancer and inflammatory diseases)

RN 651780-51-7 CAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



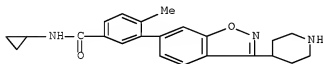
RN 1092358-66-1 CAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-, mixt. with 3,5-bis[(2-fluorophenyl)methylene]-4-piperidinone (CA INDEX NAME)

CM 1

CRN 651780-51-7

CMF C23 H25 N3 O2

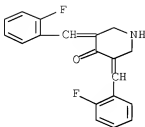


CM 2

CRN 342808-40-6

CMF C19 H15 F2 N O





REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1138529 CAPLUS Full-text

DOCUMENT NUMBER: 149:548255

TITLE: Kinase array design, back to front: Biaryl amides  
AUTHOR(S): Baldwin, Ian; Bamborough, Paul; Haslam, Claudine G.; Hunjan, Sucheta S.; Longstaff, Tim; Mooney, Christopher J.; Patel, Shila; Quinn, Jo; Somers, Don O.

CORPORATE SOURCE: Medicines Research Centre, GlaxoSmithKline R&D, Stevenage, Hertfordshire, SG1 2NY, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(19), 5285-5289  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

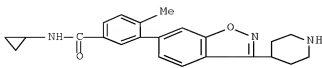
AB New kinase inhibitors can be found by synthesis of targeted arrays of compds. designed using system-based knowledge as well as through screening focused or diverse compds. Most array strategies aim to add functionality to a fragment that binds in the purine subpocket of the ATP-site. Here, an alternative pharmacophore-guided array approach is described which set out to discover novel purine subpocket-binding groups. Results are shown for p38.alpha. and cFMS kinase, for which multiple distinct series with nanomolar potency were discovered. Some of the compds. showed potency in cell-based assays and good pharmacokinetic properties.

IT 651780-51-7 651780-52-8 651780-53-9  
1082072-25-0

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)  
(kinase inhibitor array design using biaryl amides and back to front strategy)

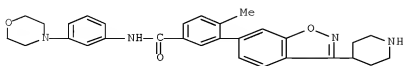
RN 651780-51-7 CAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



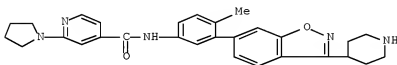
RN 651780-52-8 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-morpholinyl)phenyl]-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



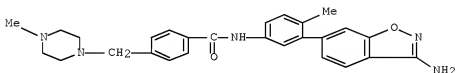
RN 651780-53-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]phenyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)



RN 1082072-25-0 CAPLUS

CN Benzamide, N-[3-(3-amino-1,2-benzisoxazol-6-yl)-4-methylphenyl]-4-[(4-methyl-1-piperazinyl)methyl]- (CA INDEX NAME)

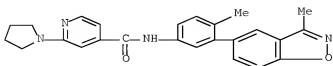


IT 651780-61-9 651781-02-1 1082072-20-5  
1082072-21-6 1082072-23-8 1082072-24-9

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL  
(Biological study)  
(kinase inhibitor array design using biaryl amides and back to front  
strategy)

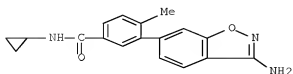
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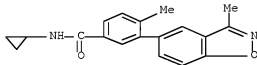
RN 651781-02-1 CAPLUS

CN Benzamide, 3-(3-amino-1,2-benzisoxazol-6-yl)-N-cyclopropyl-4-methyl- (CA INDEX NAME)



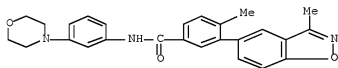
RN 1082072-20-5 CAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-(3-methyl-1,2-benzisoxazol-5-yl)- (CA INDEX NAME)



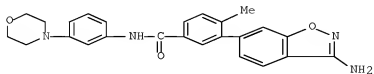
RN 1082072-21-6 CAPLUS

CN Benzamide, 4-methyl-3-(3-methyl-1,2-benzisoxazol-5-yl)-N-[3-(4-morpholinyl)phenyl]- (CA INDEX NAME)



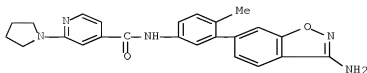
RN 1082072-23-8 CAPLUS

CN Benzamide, 3-(3-amino-1,2-benzisoxazol-6-yl)-4-methyl-N-[3-(4-morpholinyl)phenyl]- (CA INDEX NAME)



RN 1082072-24-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[3-(3-amino-1,2-benzisoxazol-6-yl)-4-methylphenyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)

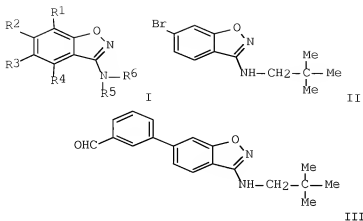


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2006:1226132 CAPLUS Full-text  
DOCUMENT NUMBER: 146:7967  
TITLE: Preparation of benzo[d]isoxazol-3-ylamines as  
vanilloid receptor 1 inhibitors  
INVENTOR(S): Frank, Robert; Merla, Beatrice; Reich, Melanie;  
Jostock, Ruth  
PATENT ASSIGNEE(S): Gruenthal GmbH, Germany  
SOURCE: ~~PCJ Int. Appl., 113pp.~~  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006122799	A1	20061123	WO 2006-EP4698	20060518
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
DE 102005038947	A1	20061130	DE 2005-102005038947	20050816
CA 2608386	A1	20061123	CA 2006-2608386	20060518
EP 1888541	A1	20080220	EP 2006-742972	20060518
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
JP 2008540597	T	20081120	JP 2008-511637	20060518
US 20090042945	A1	20090212	US 2008-914636	20080808
PRIORITY APPLN. INFO.:			DE 2005-102005023894A	20050518
			DE 2005-102005038947A	20050816
			WO 2006-EP4698	W 20060518

OTHER SOURCE(S): MARPAT 146:7967  
GI

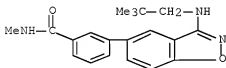


AB Title compds. I [R1, R2, R3, R4 = H, halo, CN, etc.; R5, R6 = H, COR18, CONR19R20, etc.; R18 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R19, R20 = H, alkyl with provisos, etc.] and their pharmaceutically acceptable salts were prepd. For example, aryl-coupling of 3-formylphenylboronic acid and bromobenzo[d]isoxazole II afforded claimed benzo[d]isoxazol-3-ylamine 13 in 64% yield. In vanilloid receptor 1 assays, 2-examples of compds. I exhibited 65-99% inhibition.

IT 915300-97-9P, N-Methyl-3-[3-(neopentylamino)benzo[d]isoxazol-5-yl]benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of benzo[d]isoxazol-3-ylamines as vanilloid receptor 1 inhibitors)

RN 915300-97-9 CAPLUS

CN Benzamide, 3-[3-[(2,2-dimethylpropyl)amino]-1,2-benzisoxazol-5-yl]-N-methyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2005:732641 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 143:211908  
 TITLE: Preparation of fused heteroaryl derivatives as p38 kinase inhibitors  
 INVENTOR(S): Patel, Vipulkumar Kantibhai; Swanson, Stephen  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 54 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073217	A1	20050811	WO 2005-GB266	20050127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1709028	A1	20061011	EP 2005-702023	20050127
EP 1709028	B1	20081105		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007519693	T	20070719	JP 2006-550295	20050127
AT 413392	T	20081115	AT 2005-702023	20050127
ES 2314612	T3	20090316	ES 2005-702023	20050127
US 20070054942	A1	20070308	US 2006-587613	20060728
PRIORITY APPLN. INFO.:			GB 2004-2138	A 20040130
			WO 2005-GB266	W 20050127
OTHER SOURCE(S):		CASREACT 143:211908; MARPAT 143:211908		
GI				

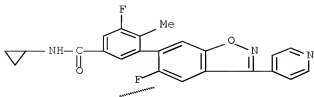
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring, R1 = Me or Cl; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable salts, are prepd. and disclosed as p38 kinase inhibitors. Thus, e.g., II was prepd. by palladium catalyzed coupling of 6-bromo-5-fluoro-3-(4-pyridinyl)-1H-indazole (prepn. given) with N-cyclopropyl-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzamide. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 .mu.M or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.

IT 862098-63-3P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 862098-63-3 CAPLUS

CN Benzamide, N-cyclopropyl-3-fluoro-5-[5-fluoro-3-(4-pyridinyl)-1,2-benzisoxazol-6-yl]-4-methyl- (CA INDEX NAME)



\*\*F is different from H, and late filing\*\*

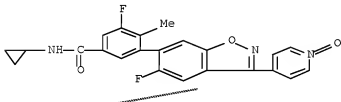
IT 862098-64-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 862098-64-4 CAPLUS

CN Benzamide, N-cyclopropyl-3-fluoro-5-[5-fluoro-3-(1-oxido-4-pyridinyl)-1,2-benzisoxazol-6-yl]-4-methyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:729633 CAPLUS Full-text

DOCUMENT NUMBER: 143:211906

TITLE: Preparation of fused heteroaryl derivatives as p38 kinase inhibitors

INVENTOR(S): Bamborough, Paul; Campos, Sebastien Andre; Patel, Vipulkumar Kantibhai; Swanson, Stephen; Walker, Ann Louise

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073189	A1	20050811	WO 2005-GB265	20050127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

EP 1708996	A1	20061011	EP 2005-702022	20050127
EP 1708996	B1	20080827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007519692	T	20070719	JP 2006-550294	20050127
AT 406351	T	20080915	AT 2005-702022	20050127
ES 2313283	T3	20090301	ES 2005-702022	20050127
US 20090023725	A1	20090122	<u>US 2006-587790</u>	20060728

PRIORITY APPLN. INFO.:

A 20040130  
 W 20050127

OTHER SOURCE(S): CASREACT 143:211906; MARPAT 143:211906

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring, R1 = Me or Cl; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo] and their pharmaceutically acceptable salts, are prepd. and disclosed as p38 kinase inhibitors. Thus, e.g., II was prepd. by palladium catalyzed Suzuki coupling of 5-bromo-1-phenyl-1H-indazole (prepn. given) with {5-[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl}boronic acid. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 .mu.M or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.

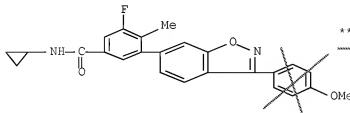
IT 861904-70-3P 861904-71-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of fused heteroaryl derivs. as p38 kinase inhibitors)

RN 861904-70-3 CAPLUS

CN Benzamide, N-cyclopropyl-3-fluoro-5-[3-(4-methoxyphenyl)-1,2-benzisoxazol-6-yl]-4-methyl- (CA INDEX NAME)



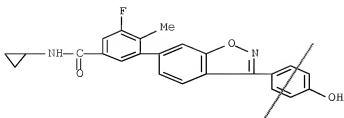
\*\*A not substituted by phenyl\*\*

\*\*Not ODP\*\*

RN 861904-71-4 CAPLUS

CN Benzamide, N-cyclopropyl-3-fluoro-5-[3-(4-hydroxyphenyl)-1,2-benzisoxazol-6-yl]-4-methyl- (CA INDEX NAME)





REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

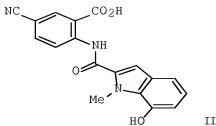
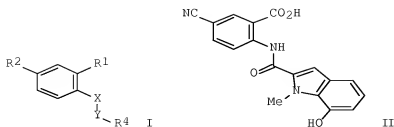
L25 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2004:182843 CAPLUS Full-text  
 DOCUMENT NUMBER: 140:235498  
 TITLE: Preparation of antibacterial benzoic acid derivatives  
 INVENTOR(S): Thorarensen, Aili; Ruble, Craig J.; Fisher, Jed F.;  
 Romero, Donna L.; Beauchamp, Thomas J.; Northuis, Jill M.

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA \*\*Not ODP\*\*  
 SOURCE: PCT Int. Appl., 500 pp.

CODEN: F1XXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1 PFE 07/2002  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018428	A1	20040304	WO 2003-US24796	20030822
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
<u>US 20040110802</u>	A1	20040610	<u>US 2003-645802</u>	20030820
AU 2003264005	A1	20040311	AU 2003-264005	20030822
PRIORITY APPLN. INFO.:			US 2002-405429P	P 20020823
			US 2002-430592P	P 20021203
			WO 2003-US24796	W 20030822

OTHER SOURCE(S): MARPAT 140:235498  
 GI



AB Title compds. I [X = NH; Y = CO, CS, C(NCN), or X and Y together form an alkene or cycloalkyl; R1 = CO<sub>2</sub>H; R2 = electron withdrawing group; R4 = (un)substituted heterocycle, provided that the heterocycle is not simultaneously substituted with a sulfonamide and a urea or thiourea] and their pharmaceutically acceptable salts are prepd. and disclosed as antibacterial agents. Thus, e.g., II was prepd. via conversion of 7-(benzyloxy)-1-methyl-1H-indole-2-carboxylic acid (prepn. given) to the acid chloride which is reacted with tert-butyl-2-amino-5-cyanobenzoate then subjected to hydrolysis. For compds. of the invention, the min. inhibitory concn. was detd. and found to correspond to a range of 0.0075 - >128 .mu.g/mL. The invention provides antimicrobial agents and methods of using the agents for sterilization, sanitation, antiseptis, disinfection, and treatment of infections in mammals.

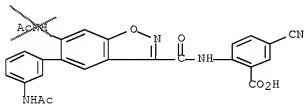
IT 1070114-67-8 1070114-78-1 1070114-95-2  
1070116-23-2 1070116-24-3 1070116-84-5  
1070117-12-2 1070117-31-5 1070117-63-3  
1070117-95-1

RL: PRPH (Prophetic)

(Preparation of antibacterial benzoic acid derivatives)

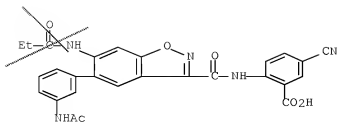
RN 1070114-67-8 CAPLUS

CN Benzoic acid, 2-[[[6-(acetylamino)-5-[3-(acetylamino)phenyl]-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)



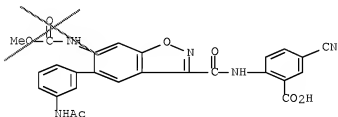
RN 1070114-78-1 CAPLUS

CN Benzoic acid, 2-[[[5-[3-(acetyl amino)phenyl]-6-[(1-oxopropyl)amino]-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)



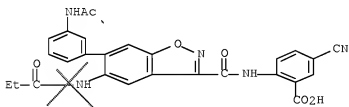
RN 1070114-95-2 CAPLUS

CN Benzoic acid, 2-[[[5-[3-(acetylamino)phenyl]-6-[(methoxycarbonyl)amino]-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)



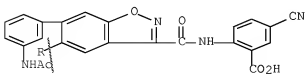
RN 1070116-23-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



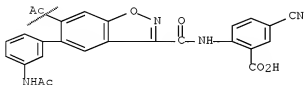
RN 1070116-24-3 CAPLUS

CN Benzoic acid, 2-[[[6-[3-(acetylamino)phenyl]-5-[(methylamino)sulfonyl]-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)



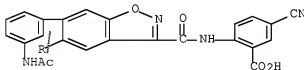
RN 1070116-84-5 CAPLUS

CN Benzoic acid, 2-[[[6-acetyl-5-[3-(acetylamino)phenyl]-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)



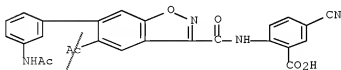
RN 1070117-12-2 CAPLUS

CN Benzoic acid, 2-[[[6-[3-(acetylamino)phenyl]-5-(aminosulfonyl)-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)



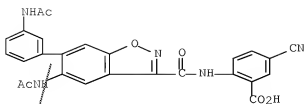
RN 1070117-31-5 CAPLUS

CN Benzoic acid, 2-[[[5-acetyl-6-[3-(acetylamino)phenyl]-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)



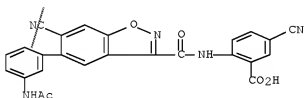
RN 1070117-63-3 CAPLUS

CN Benzoic acid, 2-[[[5-(acetylamino)-6-[3-(acetylamino)phenyl]-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)



RN 1070117-95-1 CAPLUS

CN Benzoic acid, 2-[[[5-(3-(acetylamino)phenyl)-6-cyano-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)



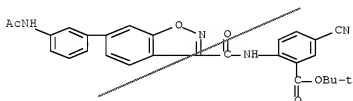
IT 668970-25-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

~~(intermediate; prepn. of benzoic acid derivs. as antibacterial agents)~~

RN 668970-25-0 CAPLUS

CN Benzoic acid, 2-[[[6-(3-(acetylamino)phenyl)-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano-, 1,1-dimethylethyl ester (CA INDEX NAME)



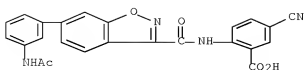
IT 668970-23-8P 668970-28-3P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); ~~THU (Therapeutic use)~~; BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzoic acid derivs. as antibacterial agents)

RN 668970-23-8 CAPLUS

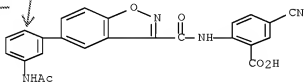
CN Benzoic acid, 2-[[[6-(3-(acetylamino)phenyl)-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)



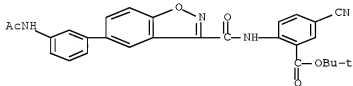
RN 668970-28-3 CAPLUS  
 CN Benzoic acid, 2-[[[5-[3-(acetylamino)phenyl]-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano- (CA INDEX NAME)

\*\*H Vs. -CH3\*\*

\*\*R1\*\*



IT 668970-29-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; prepn. of benzoic acid derivs. as antibacterial agents)  
 RN 668970-29-4 CAPLUS  
 CN Benzoic acid, 2-[[[5-[3-(acetylamino)phenyl]-1,2-benzisoxazol-3-yl]carbonyl]amino]-5-cyano-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2009 ACS ON SIN  
 ACCESSION NUMBER: 2004:100989 CAPLUS Full-text \*\*Glaxo\*\*  
 DOCUMENT NUMBER: 140:146133  
 TITLE: Preparation of fused heteroaryls, in particular benzisoxazoles and indazoles, for use as p38 kinase inhibitors in the treatment of rheumatoid arthritis  
 INVENTOR(S): Angell, Richard Martyn; Baldwin, Ian Robert; Bamborough, Paul; Deboeck, Nigel Marc; Longstaff, Timothy; Swanson, Stephen  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 135 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

**\*\*Current application\*\***

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004010995	A1	20040205	WO 2003-GB3316	20030730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZB, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003248978	A1	20040216	AU 2003-248978	20030730
EP 1531812	A1	20050525	EP 2003-771208	20030730
EP 1531812	B1	20070627		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538100	T	20051215	JP 2004-525985	20030730
AT 365551	T	20070715	AT 2003-771208	20030730
ES 2289336	T3	20080201	ES 2003-771208	20030730
US 20060122221	A1	20060608	US 2005-522955	20051114
GB 2002-17757				
WO 2003-GB3316				

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 140:146133  
GI

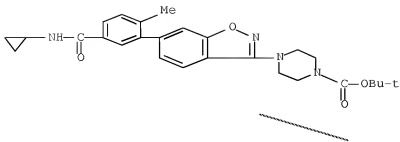
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein ACC = fused 5-membered heteroaryl; R1 = CH3, Cl; R2 = NHCHO and derivs., CONH(CH2)qR3; q = 0-2; R3 = H, cyclo/alkyl, (un)substituted Ph, heteroaryl, etc.; X, Y = independently H, Me, halo] were prepd. as p38 kinase inhibitors for treatment of rheumatoid arthritis. For example, II was prepd. by Pd-cross coupling of 6-bromo-3-piperidin-4-yl-1,2-benzisoxazole and III (prepn. given) at 80.degree. for 18 h. In an in vitro fluorescence anisotropy kinase binding assay, I gave IC50 values < 10 .mu.M for the inhibition of p38 kinase. Thus, I are useful in the treatment of conditions and diseases states mediated by p38 kinase activity or mediated by cytokines produced by the activity of p38, such as rheumatoid arthritis.

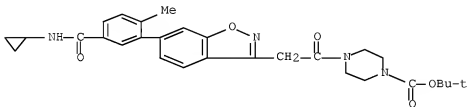
IT 651780-05-1P, 1,1-Dimethylethyl  
4-[6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1,2-benzisoxazol-3-yl]-1-piperazinecarboxylate 651780-05-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; prepn. of fused heteroaryls as p38 kinase inhibitors for treatment of rheumatoid arthritis)

RN 651780-05-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1,2-benzisoxazol-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



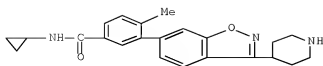
RN 651780-09-5 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[2-[6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1,2-benzisoxazol-3-yl]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 651780-51-7P, N-Cyclopropyl-4-methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-52-8P,  
 4-Methyl-N-[3-(morpholin-4-yl)phenyl]-3-[3-(Piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-53-9P,  
 N-[4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]phenyl]-2-(pyrrolidin-1-yl)isonicotinamide 651780-54-0P,  
 N-[4-Methyl-3-(3-methyl-1,2-benzisoxazol-6-yl)phenyl]-2-(pyrrolidin-1-yl)isonicotinamide 651780-55-1P,  
 N-[4-Methyl-3-(3-methyl-1,2-benzisoxazol-6-yl)phenyl]thiophene-3-carboxamide 651780-56-4P,  
 4-Methyl-3-(3-methyl-1,2-benzisoxazol-6-yl)-N-[3-(morpholin-4-yl)phenyl]benzamide 651780-59-5P,  
 4-Methyl-3-(3-methyl-1,2-benzisoxazol-6-yl)-N-(1,3-thiazol-2-yl)benzamide 651780-60-8P, N-Cyclopropyl-4-methyl-3-(3-methyl-1,2-benzisoxazol-6-yl)benzamide 651780-61-9P,  
 N-[4-Methyl-3-(3-methyl-1,2-benzisoxazol-5-yl)phenyl]-2-(pyrrolidin-1-yl)isonicotinamide 651780-62-0P,  
 N-Cyclopropyl-3-[3-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]methyl]-1,2-benzisoxazol-6-yl]-4-methylbenzamide 651780-63-1P,  
 N-(3-Methoxyphenyl)-4-methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-64-2P,  
 4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]-N-(1,3,4-thiadiazol-2-yl)benzamide 651780-65-3P,  
 N-[4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]phenyl]thiophene-3-carboxamide 651780-66-4P,  
 N-[4-Methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]phenyl]-3-furancarboxamide 651780-67-5P,  
 N-(Cyclopropylmethyl)-4-methyl-3-[3-(piperidin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-82-4P,  
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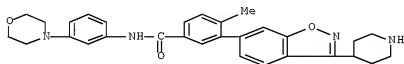


N-Cyclopropyl-4-methyl-3-[3-(1-piperazinyl)-1,2-benzisoxazol-6-yl]benzamide 651780-84-6P,  
 N-Cyclopropyl-4-methyl-3-[3-(morpholin-4-yl)-1,2-benzisoxazol-6-yl]benzamide 651780-85-7P,  
 N-Cyclopropyl-4-methyl-3-[3-[2-oxo-2-(1-piperazinyl)ethyl]-1,2-benzisoxazol-6-yl]benzamide 651780-86-8P, Methyl  
 [6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1,2-benzisoxazol-3-yl]acetate 651780-87-9P,  
 N-Cyclopropyl-3-[3-[2-(1-(2-hydroxyethyl)amino]-2-oxoethyl)-1,2-benzisoxazol-6-yl]-4-methylbenzamide 651780-88-0P,  
 N-Cyclopropyl-4-methyl-3-[3-[2-oxo-2-(1-piperidinyl)ethyl]-1,2-benzisoxazol-6-yl]benzamide 651780-89-1P,  
 N-Cyclopropyl-4-methyl-3-[3-[2-(methylamino)-2-oxoethyl]-1,2-benzisoxazol-6-yl]benzamide 651780-90-4P,  
 N-Cyclopropyl-3-[3-[2-[(3-hydroxypropyl)amino]-2-oxoethyl]-1,2-benzisoxazol-6-yl]-4-methylbenzamide 651780-91-5P,  
 N-Cyclopropyl-3-[3-[2-[(cyclopropylmethyl)amino]-2-oxoethyl]-1,2-benzisoxazol-6-yl]-4-methylbenzamide 651780-92-6P,  
 N-Cyclopropyl-4-methyl-3-[3-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1,2-benzisoxazol-6-yl]benzamide 651780-93-7P,  
 N-Cyclopropyl-3-[3-[2-(ethylamino)-2-oxoethyl]-1,2-benzisoxazol-6-yl]-4-methylbenzamide 651780-94-8P,  
 N-Cyclopropyl-3-[3-[2-(cyclopropylamino)-2-oxoethyl]-1,2-benzisoxazol-6-yl]-4-methylbenzamide 651780-95-9P,  
 N-Cyclopropyl-4-methyl-3-[3-[2-(4-morpholinyl)-2-oxoethyl]-1,2-benzisoxazol-6-yl]benzamide 651780-96-0P,  
 N-Cyclopropyl-4-methyl-3-[3-[2-[[[3-(methyloxy)phenyl]methyl]amino]-2-oxoethyl]-1,2-benzisoxazol-6-yl]benzamide 651780-97-1P,  
 N-Cyclopropyl-4-methyl-3-[3-[2-oxo-2-[(1,3-thiazol-2-yl)amino]ethyl]-1,2-benzisoxazol-6-yl]benzamide 651780-98-2P,  
 N-Cyclopropyl-4-methyl-3-[3-[4-methyl-1-piperazinyl)methyl]-1,2-benzisoxazol-6-yl]benzamide 651780-99-3P,  
 N-Cyclopropyl-4-methyl-3-[3-[(1-piperidinyl)methyl]-1,2-benzisoxazol-6-yl]benzamide 651781-00-9P,  
 N-Cyclopropyl-4-methyl-3-[3-[(4-morpholinyl)methyl]-1,2-benzisoxazol-6-yl]benzamide 651781-01-0P,  
 N-Cyclopropyl-4-methyl-3-[3-[(1-pyrrolidinyl)methyl]-1,2-benzisoxazol-6-yl]benzamide 651781-02-1P,  
 3-(3-Amino-1,2-benzisoxazol-6-yl)-N-cyclopropyl-4-methylbenzamide 651781-03-2P, N-Cyclopropyl-3-[3-(cyclopropylamino)-1,2-benzisoxazol-6-yl]-5-fluoro-4-methylbenzamide 651781-04-3P,  
 6-[5-[(Cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]-N-(cyclopropylmethyl)-1,2-benzisoxazole-3-carboxamide 651781-05-4P,  
 6-[5-[(Cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]-N-propyl-1,2-benzisoxazole-3-carboxamide 651781-06-5P,  
 6-[5-[(Cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]-N-methyl-1,2-benzisoxazole-3-carboxamide 651781-07-6P,  
 6-[5-[(Cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]-N,N-dimethyl-1,2-benzisoxazole-3-carboxamide 651781-08-7P,  
 N-Cyclopropyl-6-[5-[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]-1,2-benzisoxazole-3-carboxamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (p38 kinase inhibitor; prepn. of fused heteroaryls as p38 kinase inhibitors for treatment of rheumatoid arthritis)  
 RN 651780-51-7 CAPLUS  
 CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



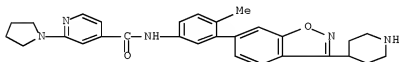
RN 651780-52-8 CAPLUS

CN Benzamide, 4-methyl-N-[3-(4-morpholinyl)phenyl]-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



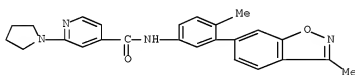
RN 651780-53-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]phenyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)



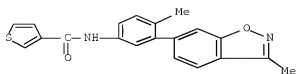
RN 651780-54-0 CAPLUS

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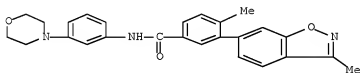
RN 651780-55-1 CAPLUS

CN 3-Thiophenecarboxamide, N-[4-methyl-3-(3-methyl-1,2-benzisoxazol-6-yl)phenyl]- (CA INDEX NAME)



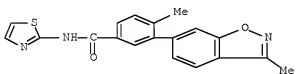
RN 651780-58-4 CAPLUS

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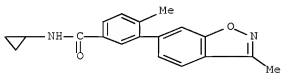
RN 651780-59-5 CAPLUS

CN Benzamide, 4-methyl-3-(3-methyl-1,2-benzisoxazol-6-yl)-N-2-thiazolyl- (CA INDEX NAME)



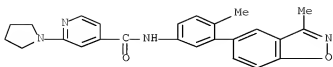
RN 651780-60-8 CAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-(3-methyl-1,2-benzisoxazol-6-yl)- (CA INDEX NAME)



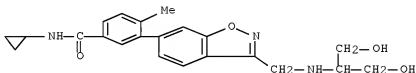
RN 651780-61-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-(3-methyl-1,2-benzisoxazol-5-yl)phenyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)



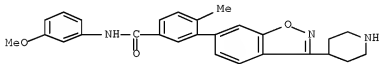
RN 651780-62-0 CAPLUS

CN Benzamide, N-cyclopropyl-3-[[3-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]methyl]-1,2-benzisoxazol-6-yl]-4-methyl- (CA INDEX NAME)



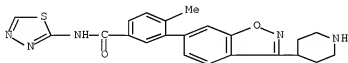
RN 651780-63-1 CAPLUS

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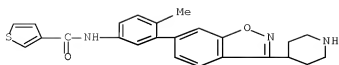
RN 651780-64-2 CAPLUS

CN Benzamide, 4-methyl-3-[[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-N-1,3,4-thiadiazol-2-yl]- (CA INDEX NAME)



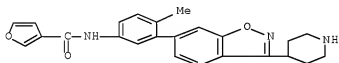
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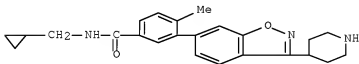
RN 651780-66-4 CAPLUS

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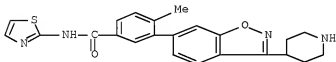
RN 651780-67-5 CAPLUS

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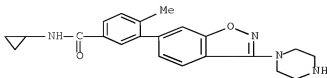
RN 651780-82-4 CAPLUS

CN Benzamide, 4-methyl-3-[3-(4-piperidinyl)-1,2-benzisoxazol-6-yl]-N-2-thiazolyl- (CA INDEX NAME)



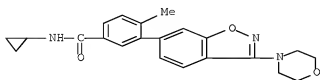
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CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(1-piperazinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



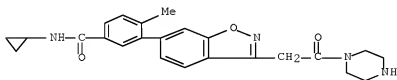
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CN Benzamide, N-cyclopropyl-4-methyl-3-[3-(4-morpholinyl)-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



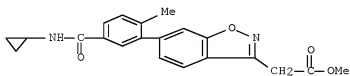
RN 651780-85-7 CAPLUS

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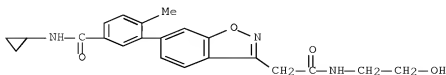
RN 651780-86-8 CAPLUS

CN 1,2-Benzisoxazole-3-acetic acid, 6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-, methyl ester (CA INDEX NAME)



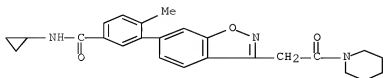
RN 651780-87-9 CAPLUS

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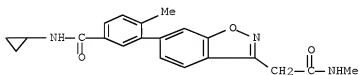
RN 651780-88-0 CAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-[3-[2-oxo-2-(1-piperidinyl)ethyl]-1,2-benzisoxazol-6-yl]- (CA INDEX NAME)



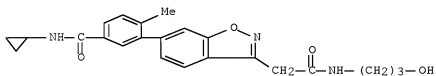
RN 651780-89-1 CAPLUS

CN 1,2-Benzisoxazole-3-acetamide, 6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-N-methyl- (CA INDEX NAME)



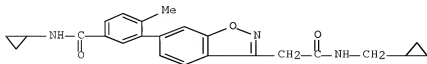
RN 651780-90-4 CAPLUS

CN 1,2-Benzisoxazole-3-acetamide, 6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-N-(3-hydroxypropyl)- (CA INDEX NAME)



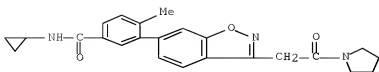
RN 651780-91-5 CAPLUS

CN 1,2-Benzisoxazole-3-acetamide, 6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-N-(cyclopropylmethyl)- (CA INDEX NAME)



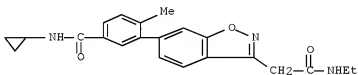
RN 651780-92-6 CAPLUS

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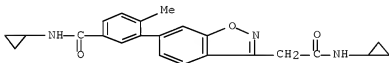
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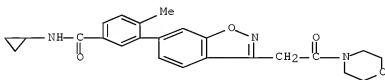
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RN 651780-95-9 CAPLUS

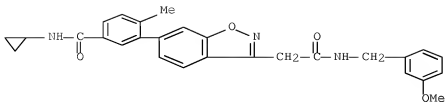
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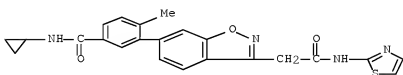
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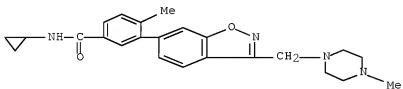
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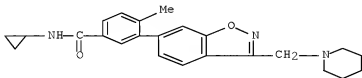
RN 651780-98-2 CAPLUS

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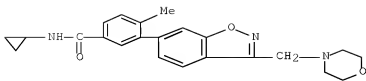
RN 651780-99-3 CAPLUS

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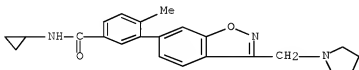
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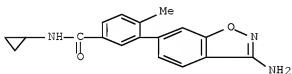
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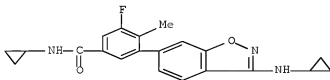
RN 651781-02-1 CAPLUS

CN Benzamide, 3-(3-amino-1,2-benzisoxazol-6-yl)-N-cyclopropyl-4-methyl- (CA INDEX NAME)



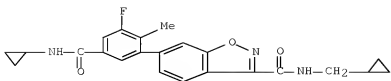
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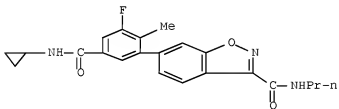
RN 651781-04-3 CAPLUS

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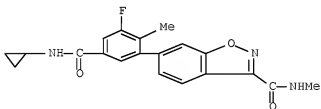
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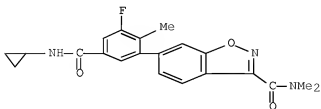
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CN 1,2-Benzisoxazole-3-carboxamide, 6-[5-[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]-N-methyl- (CA INDEX NAME)



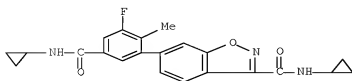
RN 651781-07-6 CAPLUS

CN 1,2-Benzisoxazole-3-carboxamide, 6-[5-[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]-N,N-dimethyl- (CA INDEX NAME)



RN 651781-08-7 CAPLUS

CN 1,2-Benzisoxazole-3-carboxamide, N-cyclopropyl-6-[5-  
[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

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Executing the logoff script...

=> LOG H

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	45.62	619.90
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-6.56	-19.68

SESSION WILL BE HELD FOR 120 MINUTES  
STN INTERNATIONAL SESSION SUSPENDED AT 14:05:13 ON 26 MAY 2009